

ACCESSION NUMBER: 1997024369 PCTFULL ED 20020514
TITLE (ENGLISH): **GROWTH-HORMONE SECRETAGOGUES**
TITLE (FRENCH):
INVENTOR(S): CARPINO, Philip, A.; JARDINE, DaSilva, Paul, A.; LEFKER, Bruce, A.; RAGAN, John, A.
PATENT ASSIGNEE(S): PFIZER INC.; CARPINO, Philip, A.; JARDINE, DaSilva, Paul, A.; LEFKER, Bruce, A.; RAGAN, John, A.
LANGUAGE OF PUBL.: English
DOCUMENT TYPE: Patent
PATENT INFORMATION:

	NUMBER	KIND	DATE
DESIGNATED STATES	WO 9724369	A1	19970710
	AU BG BR BY CA CN CZ HU IL IS JP KR KZ LK LV MX NO NZ PL RO RU SG SI SK TR UA US UZ VN AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN ML MR NE SN TD TG		
APPLICATION INFO.:	WO 1996-IB1353	A	19961204
PRIORITY INFO.:	US 1995-60/009,469		19951228

ABEN This invention is directed to compounds of formula (I) and the pharmaceutically-acceptable salts thereof, where the substituents are as defined in the Specification, which are **growth hormone secretagogues** and which increase the level of endogenous growth hormone. The compounds of this invention are useful for the treatment and prevention of osteoporosis, congestive heart failure, frailty associated with aging, obesity; accelerating bone fracture repair, attenuating protein catabolic response after a major operation, reducing cachexia and protein loss due to chronic illness, accelerating wound healing, or accelerating the recovery of burn patients or patients having undergone major surgery; improving muscle strength, mobility, maintenance of skin thickness, metabolic homeostasis or renal homeostasis. The compounds of the present invention are also useful in treating osteoporosis when used in combination with: a bisphosphonate compound such as alendronate; estrogen, premarin, and optionally progesterone; an estrogen agonist or antagonist; or calcitonin, and pharmaceutical compositions useful therefor. Further, the present invention is directed to pharmaceutical compositions useful for increasing the endogenous production or release of growth hormone in a human or other animal which comprises an effective amount of a compound of the present invention and a **growth hormone secretagogue** selected from GHRP-6, Hexarelin, GHRP-1, growth hormone releasing factor (GRF), IGF-1, IGF-2 or B-HT920. The invention is also directed to intermediates useful in the preparation of compounds of formula (I). ABFR L'invention concerne des composés représentés par la formule (I), ainsi que leurs sels acceptables sur le plan pharmaceutique, dans laquelle les substituants sont tels qu'ils sont définis dans la description, qui sont des secretagogues de l'hormone de

AI	
AP 1998-1270	A 19980618
AU 1998-74454	A 19980605
EP 1998-921680	A 19980605
HR 1998-360	A 19980625
JP 1999-504026	A 19980605
US 1999-380887	A 19990908
US 2001-822095	A 20010330
US 2001-822109	A 20010330
US 2001-822738	A 20010330
US 2001-823051	A 20010330
WO 1998-IB873	A 19980605

PI	
AP 9801270	A0 19980630
AU 9874454	A1 19990104
EP 1001970	A1 20000524
HR 9800360	A1 19990430
JP 2000516639	T2 20001212
US 6251902	BA 20010626
US 2002042415	AA 20020411
US 6432945	BA 20020813
US 2002002165	AA 20020103
US 6429313	BB 20020806
US 2001041703	AA 20011115
US 2002065284	AA 20020530
US 6433171	BA 20020813
WO 9858947	A1 19981230

L7 ANSWER 2 OF 9

ACCESSION NUMBER:

TITLE (ENGLISH):

TITLE (FRENCH):

INVENTOR(S):

Andrew;

PATENT ASSIGNEE(S):

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

PCTFULL COPYRIGHT 2002 Univentio
1998058948 PCTFULL ED 20020514
TARTRATE SALT OF A SUBSTITUTED DIPEPTIDE AS
GROWTH HORMONE SECRETAGogue
TARTRATE DE DIPEPTIDE SUBSTITUE INTERVENANT COMME
SECRETAGogue D'HORMONE DE CROISSANCE
CARPINO, Philip, Albert; DASILVA-JARDINE, Paul,
LEFKER, Bruce, Allen; MURRY, Jerry, Anthony
PFIZER PRODUCTS INC.; CARPINO, Philip, Albert;
DASILVA-JARDINE, Paul, Andrew; LEFKER, Bruce, Allen;
MURRY, Jerry, Anthony

DESIGNATED STATES

NUMBER	KIND	DATE
WO 9858948	A1	19981230
AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE GH HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZW GH GM KE LS MW SD SZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN ML MR NE SN TD TG		
WO 1998-IB874	A	19980605
US 1997-60/050,723		19970625

APPLICATION INFO.:

PRIORITY INFO.:

L7 ANSWER 8 OF 9
ACCESSION NUMBER:
TITLE (ENGLISH):

PCTFULL COPYRIGHT 2002 Univentio
1997024369 PCTFULL ED 20020514
GROWTH-HORMONE
SECRETAGOGUES

TITLE (FRENCH):
INVENTOR(S): CARPINO, Philip, A.; JARDINE, DaSilva, Paul, A.; LEFKER, Bruce, A.; RAGAN, John, A.
PATENT ASSIGNEE(S): PFIZER INC.; CARPINO, Philip, A.; JARDINE, DaSilva, Paul, A.; LEFKER, Bruce, A.; RAGAN, John, A.
LANGUAGE OF PUBL.: English
DOCUMENT TYPE: Patent
PATENT INFORMATION:

NUMBER	KIND	DATE
--------	------	------

WO 9724369 A1 19970710

DESIGNATED STATES AU BG BR BY CA CN CZ HU IL IS JP KR KZ LK LV MX NO NZ PL RO RU SG SI SK TR UA US UZ VN AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN ML MR NE SN TD TG

APPLICATION INFO.: WO 1996-IB1353 A 19961204
PRIORITY INFO.: US 1995-60/009,469 19951228

ABEN This invention is directed to compounds of formula (I) and the pharmaceutically-acceptable salts thereof, where the substituents are as defined in the Specification, which are **growth hormone secretagogues** and which increase the level of endogenous growth hormone. The compounds of this invention are useful for the treatment and prevention of osteoporosis, congestive heart failure, frailty associated with aging, obesity; accelerating bone fracture repair, attenuating protein catabolic response after a major operation, reducing cachexia and protein loss due to chronic illness, accelerating wound healing, or accelerating the recovery of burn patients or patients having undergone major surgery; improving muscle strength, mobility, maintenance of skin thickness, metabolic homeostasis or renal homeostasis. The compounds of the present

invention are also useful in treating osteoporosis when used in combination with: a bisphosphonate compound such as alendronate; estrogen, premarin, and optionally progesterone; an estrogen agonist or antagonist; or calcitonin, and pharmaceutical compositions useful therefor. Further, the present invention is directed to pharmaceutical compositions useful for increasing the endogenous production or release of growth hormone in a human or other animal which comprises an effective amount of a compound of the present invention and a **growth hormone secretagogue** selected from GHRP-6, Hexarelin, GHRP-1, growth hormone releasing factor (GRF), IGF-1, IGF-2 or B-HT920. The invention is also directed to intermediates useful in the preparation of compounds of formula (I). ABFR L'invention concerne des composes representes par la formule (I), ainsi que leurs sels acceptables

croissance et qui augmentent le niveau de l'hormone de croissance endogene. Ces composes sont utiles pour traiter et prevenir l'osteoporose, l'insuffisance cardiaque congestive, la fragilite associee au vieillissement, l'obesite, pour accelerer la reparation des fractures osseuses, attenuer la reaction catabolique proteique apres une operation lourde, limiter la cachexie et la perte proteique dues a une maladie chronique, accelerer la cicatrisation des lesions ou la coalescence de patients brules ou de patients ayant subi une intervention de chirurgie lourde, ameliorer la resistance musculaire, la mobilite, le maintien de l'epaisseur de la peau, l'homeostasie metabolique ou l'homeostasie renale.

Ces composes sont egalement utiles pour traiter l'osteoporose quand on les utilise en combinaison avec un compose bisphosphate, tel qu'alendronate, des oestrogenes, premarine et, eventuellement, progesterone, un agoniste ou un antagoniste d'oestrogene, ou calcitonine, ainsi qu'avec des compositions pharmaceutiques utiles a cet effet. L'invention concerne, de plus, des compositions pharmaceutiques utiles pour augmenter la production endogene ou la libération d'hormone de croissance chez l'homme ou chez l'animal, et comprenant une dose efficace d'un compose de l'invention et un secretagogue d'hormone de croissance selectionne parmi

GHRP-6, Hexareline, GHRP-1, le facteur de libération de l'hormone de croissance (GRF), IGF-1, IGF-2 ou B-HT920. L'invention concerne egalement des intermediaires utiles pour preparer les composes representes par la formule (I).

+-----AI-----+
AT 1996-905322 EP 19960202
AU 1996-49119 A 19960202

DE 1996-69620877 A 19960202
EP 1996-905322 A 19960202

JP 1996-524328 A 19960202
US 1997-783523 A 19970114
WO 1996-US1382 A 19960202

+-----PI-----+
AT 216590 E 20020515
AU 695129 B2 19980806
AU 9649119 A1 19960827

DE 69620877 C0 20020529
EP 820299 A1 19980128
EP 820299 B1 20020424

JP 2000510813 T2 20000822
US 5744132 A 19980428
WO 9624369 A1 19960815